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Signed Andrew Gorsey

Dated 1 October 1999

Patents Form 1/77

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1/77

Request for grant of a patent

(See the notes on the back of this form. You can also get an explanatory leaflet from the Patent Office to help you fill in this form)

The Patent Office
Cardiff Road
Newport
Gwent NP9 1RH

1. Your reference — KR/VB/P32212

2. Patent application number
(The Patent Office will fill in his part) — 9827883.1

17 DEC 1998

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SMITHKLINE BEECHAM PLC
NEW HORIZONS COURT, BRENTFORD,
MIDDLESEX TW8 9EP

Patents ADP number *(if you know it)* 5800974002

If the applicant is a corporate body, give the country/state of its incorporation — UNITED KINGDOM

4. Title of the invention — Novel Compounds

5. Name of your agent *(if you have one)*

CORPORATE INTELLECTUAL PROPERTY

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

SMITHKLINE BEECHAM PLC
TWO NEW HORIZONS COURT
BRENTFORD
MIDDLESEX TW8 9EP

Patents ADP number *(if you know it)* 4471231005

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or each of these earlier applications and *(if you know it)* the or each application number

Country	Priority application number *(if you know it)*	Date of filing *(day / month / year)*

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application	Date of filing *(day / month / year)*

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer yes if:*

a) any applicant named in part 3 is not an inventor, or
b) there is an inventor who is named as an applicant, or
c) any named applicant is a corporate body
See note (d)

9. Enter the number of sheets for any of the following items you are filing with this form. Do not count copies of the same document

Continuation sheets of this form	0
Description	58
Claim(s)	0
Abstract	0
Drawings	0

10. If you are also filing any of the following, state how many against each item.

Priority Documents

Translations of priority documents

Statement of inventorship and right to grant of a patent *(Patents Form 1/77)*

Request for preliminary examination and search *(Patents Form 9/77)*

Request for substantive examination *(Patents Form 10/77)*

Any other documents *(please specify)*

11. We request the grant of a patent on the basis of this application

Signature K Rutter Date 17-Dec-98

K Rutter

12. Name and daytime telephone number of person to contact in the United Kingdom

K Rutter 01279 644396

Warning

After an application for a Patent has beeen filed, the Comptroller of the Patent Office will consider whether publication or communication of the invention should be prohibited or restricted under Section 22 of the Patents Act 1977. You will be informed tf it is necessary to prohibit or restrict your invention in this way. Furthermore, if you live in the United Kingdom, Section 23 of the Patents Act 1977 stops you from applying for a patent abroad without first getting written permission unless an application has been filed at least six weeks beforehand in the United Kingdom for a patent for the same invention and either no direction prohibiting publication or communication has been given, or any such direction has been revoked.

Notes

a) If you need help to fill in this form or you have any questions, please contact the Patent Office on 0645 500505
b) Write your answers in capital letters using black ink or you may type them.
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Novel Method and Compounds

This invention relates to a novel method for the treatment of conditions associated with a need for inhibition of glycogen synthase kinase-3 (GSK-3), especially diabetes, dementias, such as Alzheimer's disease, manic depression and cancer and certain novel inhibitors of GSK-3 used in such method.

GSK-3 is a serine/threonine protein kinase having a 47kDa monomeric structure. It is one of several protein kinases which phosphorylates glycogen synthase (GS) (Embi *et al* Eur. J. Biochem. **(107)** 519-527 (1980)). Two isoforms are found in mammalian cells: α and β. Both isoforms phosphorylate muscle glycogen synthase (Cross *et al* Biochemical Journal **(303)** 21-26 (1994)) and these two isoforms show good homology between species (e.g. human and rabbit GSK-3α are 96% identical).

Type II diabetes (or Non-Insulin Dependent Diabetes Mellitus, NIDDM) is a multifactorial disease. Hyperglycaemia is due to insulin resistance in the liver, muscle and other tissues coupled with inadequate or defective secretion of insulin from pancreatic islets. Skeletal muscle is the major site for insulin-stimulated glucose uptake and in this tissue, glucose removed from the circulation is either metabolised through glycolysis and the TCA cycle, or stored as glycogen. Muscle glycogen deposition plays the more important role in glucose homeostasis and Type II diabetic subjects have defective muscle glycogen storage.
The stimulation of glycogen synthesis by insulin in skeletal muscle results from the dephosphorylation and activation of glycogen synthase (Villar-Palasi C. and Larner J. Biochim. Biophys. Acta **(39)** 171-173 (1960), Parker P J *et al* Eur. J. Biochem. **(130)** 227-234 (1983), and Cohen P. Biochem. Soc. Trans. **(21)** 555-567 (1993)). The phosphorylation and dephosphorylation of GS are mediated by specific kinases and phosphatases. GSK-3 is responsible for phosphorylation and deactivation of GS, while glycogen bound protein phosphatase 1 (PP1G) dephosphorylates and activates GS. Insulin both inactivates GSK-3 and activates PP1G (Srivastava A K and Pandey S K Mol. and Cellular Biochem. **(182)** 135-141 (1998).

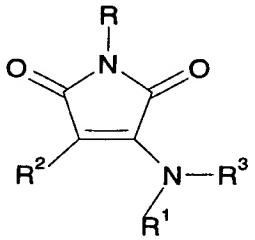
Chen et al Diabetes **(43)** 1234-1241 (1994) found that there was no difference in the mRNA abundance of PP1G between pateients with Type II diabetes and control patients, suggesting that an increase in GSK-3 activity might be important in Type II diabetes. It has also recently been demonstrated that GSK-3 is overexpressed in Type II diabetic muscle and that an inverse correlation exists between skeletal muscle GSK-3α activity and insulin action (Nikoulina *et al* Glycogen Synthase Kinase-3 in Human Skeletal Muscle: Relationship To Insulin

Resistance in Type II Diabetes Diabetes (**47(1)**) 0028 Page A7 (1998) (Oral presentation)). Additionally, in CHO cells, expressing both insulin receptor and insulin receptor substrate 1 (IRS-1), overexpression of GSK-3 resulted in an impairment of insulin action (Eldar-Finkelman and Krebs PNAS (**94**) 9660-9664 (1997)).

GSK-3 has been shown to phosphorylate other proteins *in vitro*, e.g. Tau protein, which is hyperphosphorylated in Alzheimer's disease, and the eukaryotic initiation factor eIF-2B at $Serine^{540}$. GSK-3 is known to be inhibited by lithium (Stambolic V., Ruel L.and Woodgett J.R. Curr. Biol. 1996 6(12): 1664-8) and lithium reduces the phosphorylation of tau, enhances the binding of tau to microtubules, and promotes microtubule assembly through direct and reversible inhibition of glycogen synthase kinase-3 (Hong M., Chen D.C., Klein P.S. and Lee V.M. J.Biol. Chem. 1997 272(40) 25326-32). WO 97/41854 (University of Pennsylvania) discloses that an effective drug for the treatment of manic depression is lithium, but that there are serious drawbacks associated with this treatment and the molecular mechanism underlying lithium's action for the treatment of manic depression has not been elucidated.

We have now discovered that certain substituted aminomaleimides are particularly potent and selective inhibitors of GSK-3. These compounds are therefore indicated to be useful for the treatment of conditions associated with a need for inhibition of GSK-3, such as diabetes, dementias, such as Alzheimer's disease, manic depression and cancer. Certain of these compounds are novel and such compounds comprise a further aspect of the invention.

Accordingly, in a first aspect the present invention provides a method for the treatment of conditions associated with a need for inhibition of GSK-3, such as diabetes, dementias, such as Alzheimer's disease, manic depression and cancer, which method comprises the administration of a pharmaceutically effective, non-toxic amount of a compound of formula (I):



(I)

or a pharmaceutically acceptable derivative thereof, wherein:
R is hydrogen, alkyl, aryl, or aralkyl;
R^1 is hydrogen, alkyl, aralkyl or alkoxyalkyl;

R^2 is substituted or unsubstituted aryl or substituted or unsubstituted heterocyclyl;
R^3 is hydrogen, alkyl, alkoxyalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl or aralkyl wherein the aryl moiety is substituted or unsubstituted; or
R^1 and R^3 together with the nitrogen to which they are attached form a single or fused, optionally substituted, saturated or unsaturated heterocylic ring, to a human or non-human mammal in need thereof.

Suitably, R is hydrogen.

Suitably, R^1 is hydrogen.

Suitably, R^2 is phenyl or naphthyl or substituted phenyl or substituted naphthyl, wherein substituents for the phenyl or naphthyl group are selected from up to three of C_{1-6}alkyl, C_{1-6}alkoxy, C_{1-6}alkoxymethyl, halo, hydroxy, carboxy, cyano, nitro, C_{1-6}alkylthio, trifluoromethyl, trifluoromethyloxy, trifluoromethylthio, phenoxy, phenyl, benzyloxy and methylenedioxy.

Favourably, R^2 is phenyl either unsubstituted or substituted with up to three of methyl, methoxy, chloro or nitro.

Suitably, R^3 is phenyl either unsubstituted or substituted by up to three of C_{1-6}alkyl, phenyl, naphthyl, benzyl, C_{1-6}alkoxy, phenyloxy, phenylthio, carboxyC_{1-6}alkylthio carboxyphenylthio, benzyloxy, C_{1-6}alkylthio, halo, hydroxy, carboxy, cyano, nitro, acyl, hydroxymethyl, hydroxyethyl, trifluoromethyl, trifluoromethyloxy, trifluoromethylthio, trityl, carboxymethyl, cyanomethyl, carboxyC_{1-6}alkyloxy, C_{2-6} alkenyl, carboxyC_{2-6}alkenyl, carbamoyl, carbamoylC_{1-6}alkyl, C_{1-6}alkycarbonylamino, C_{1-6}alkylcarbonylalkylamino, C_{1-6}alkylaminosulphonylalkyl, mono- or bis-alkylphosphonateC_{1-6}alkyl, morpholinyl, adamantyl, oxazolyl and methylenedioxy or any two adjacent substituents on the phenyl ring together with the carbon atoms to which they are attached form a 5 or 6 membered carbocyclic ring or a 5 or 6 membered heterocyclic ring.

Favourably, R^3 is phenyl either unsubstituted or substituted with up to three of methyl, propyl, butyl, n-butoxy, phenoxy, thiomethyl, halo, hydroxy, benzyl, benzoyl, acetyl, 2-carboxyethenyl or any two adjacent substituents on the phenyl ring together with the carbon atoms to which they are attached form a fused cyclopentyl ring or a fused thiazolyl ring.

When R^1 and R^3 together with the nitrogen to which they are attached form a heterocylic ring, suitable rings include unsaturated rings having 5 to 8 ring atoms, such as imidazolyl.

When R^1 and R^3 together with the nitrogen to which they are attached form a heterocylic ring, suitable rings include saturated rings having 5 to 8 ring atoms, such as pyrrolidinyl, piperidinyl or azepinyl.

Suitable optional substituents for any heterocyclic ring represented by NR^1R^3 includes up to three of alkyl, hydroxy, nitro, carbamoyl, hydroxy(C_{1-4})alkyl or aryl(C_{1-4})alkyl or any two adjacent substituents of the ring, together with the carbon atoms to which they are attached, form a benzene ring.

There is a sub-group of compounds, falling wholly within formula (I), and being of formula (IA), wherein R, R^1, R^2 and R^3 are as defined in relation to formula (I), with the proviso that formula (IA) does not include:
3-indol-1-yl-4-(1-methyl-1*H*-indol-3-yl)-pyrrole-2,5-dione;
1-(1-methyl-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl)pyridinium chloride;
1-[1-(4-methyl-pentyl)-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl]pyridinium chloride;
1-(1-dodecyl-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl)-pyridinium chloride;
3-[2-benzo[b]thien-2-yl-3-[4-(dimethylamino)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]-carbamimidothioic acid,propyl ester;
3-(dimethylamino)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(phenylamino)-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-[[4-(trifluoromethyl)phenyl]amino]-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(methylamino)-1H-pyrrole-2,5-dione;
3-(1H-imidazo[4,5-b]pyridin-l-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(6-chloro-9H-purin-9-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(6-amino-9H-purin-9-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(1H-pyrrolo[2,3-b]pyridin-1-yl)- 1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(1-piperidinyl)-1H-pyrrole-2,5-dione;
3-[4-(diphenylmethyl)-1-piperazinyl]-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
1-acetyl-3-[2,5-dihydro-1-methyl-2,5-dioxo-4-[[4-(trifluoromethyl)phenyl]amino]-1H-pyrrol-3-yl]- 1H-indole;
3-(1H-benzimidazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-benzotriazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-imidazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione

3-(1H-indol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione
3-(1H-indazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-[3-[(dimethylamino)methyl]-1H-indol-1-yl]-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-indol-1-yl)-4-(1-methyl-1H-indol-3-yl)- 1H-pyrrole-2,5-dione;
3-(1H-benzimidazol-1-yl)-4-(1H-indol-3-yl)- 1H-pyrrole-2,5-dione; and
3-(1H-indol-1-yl)-4-(1-methyl-1H-indol-3-yl)- 1H-pyrrole-2,5-dione.

There is a further sub-group of compounds, falling wholly within formula (I), and being of formula (IB), wherein R, R^1, R^2 and R^3 are as defined in relation to formula (I), with the proviso that formula (IB) does not include:
3-phenylamino-4-phenyl-1H-pyrrole-2,5-dione;
3-phenyl-4-piperidin-1-yl-pyrrole-2,5-dione;
3-(4-methylpiperazin-l-yl)-4-phenyl-pyrrole-2,5-dione;
3-(4-ethylpiperazin-l-yl)-4-phenyl-pyrrole-2,5-dione;
3-(4-chlorophenyl)-4-(4-methyl-piperazin-l-yl)-pyrrole-2,5-dione;
3-(3,5-dimethyl-1-phenyl-1*H*-pyrazol-4-yl)-4-morpholin-4-yl-pyrrole-2,5-dione;
3-indol-1-yl-4-(1-methyl-1*H*-indol-3-yl)-pyrrole-2,5-dione;
1,3-dimethyl-4-methylaminopyrrole-2,5-dione;
1-(1-methyl-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl)-pyridinium chloride;
1-1-(4-methyl-pentyl)-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl)-pyridinium chloride;
1-(1-dodecyl-2,5-dioxo-4-phenylamino-2,5-dihydro-1*H*-pyrrol-3-yl)-pyridinium chloride;
3-[2,5-dihydro-4-(1H-imidazol-1-yl)-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]-1H-indole-1-carboxylic acid, 1,1-dimethylethyl ester;
3-[2-benzo[b]thien-2-yl-3-[4-(dimethylamino)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]-carbamimidothioic acid,propyl ester;
3-(dimethylamino)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(phenylamino)-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-[[4-(trifluoromethyl)phenyl]amino]-1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(methylamino)-1H-pyrrole-2,5-dione;
3-(1H-imidazo[4,5-b]pyridin-l-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(6-chloro-9H-purin-9-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(6-amino-9H-purin-9-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;

3-(1H-indol-3-yl)-1-methyl-4-(1H-pyrrolo[2,3-b]pyridin-1-yl)- 1H-pyrrole-2,5-dione;
3-(1H-indol-3-yl)-1-methyl-4-(1-piperidinyl)-1H-pyrrole-2,5-dione;
3-[4-(diphenylmethyl)-1-piperazinyl]-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
1-acetyl-3-[2,5-dihydro-1-methyl-2,5-dioxo-4-[[4-(trifluoromethyl)phenyl]amino]-1H-pyrrol-3-yl]- 1H-indole;
3-(1H-benzimidazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-benzotriazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-imidazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione
3-(1H-indol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione
3-(1H-indazol-1-yl)-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-[3-[(dimethylamino)methyl]-1H-indol-1-yl]-4-(1H-indol-3-yl)-1-methyl-1H-pyrrole-2,5-dione;
3-(1H-indol-1-yl)-4-(1-methyl-1H-indol-3-yl)- 1H-pyrrole-2,5-dione;
3-(1H-benzimidazol-1-yl)-4-(1H-indol-3-yl)- 1H-pyrrole-2,5-dione;
3-(1H-indol-1-yl)-4-(1-methyl-1H-indol-3-yl)- 1H-pyrrole-2,5-dione;
3,3'-iminobis[1-methyl-4-(4-methylphenyl)- 1H-pyrrole-2,5-dione;
1-[4-(2,3-dihydro-1,3-dioxo-1H-inden-2-yl)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]pyridinium internal salt;
1-[4-(2,3-dihydro-1,3-dioxo-1H-inden-2-yl)-2,5-dihydro-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]pyridinium internal salt; and
3-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)-4-(4-morpholinyl)-1H-pyrrole-2,5-dione.

It is considered that the compounds of formula (IB) are novel. Accordingly , the present invention also provides a compound of the above defined formula (IB) or a derivative thereof.

Certain of the compounds of formula (I) may contain at least one chiral carbon, and hence they may exist in one or more stereoisomeric forms. The present invention encompasses all of the isomeric forms of the compounds of formula (I) whether as individual isomers or as mixtures of isomers, including racemates.

Alkyl groups referred to herein, including those forming part of other groups, include straight or branched chain alkyl groups containing up to six carbon atoms, said carbon atoms being optionally substituted with up to five, suitably up to three, groups selected from the list consisting of aryl, heterocyclyl, alkylthio, alkenylthio, alkynylthio, arylthio, heterocyclylthio, alkoxy, arylalkoxy,

arylalkylthio, amino, mono- or di-alkylamino, cycloalkyl, cycloalkenyl, carboxy and esters thereof, hydroxy, and halogen.

Alkenyl and alkynyl groups referred to herein include straight and branched chain alkenyl groups containing from two to six carbon atoms, said carbon atoms being optionally substituted with up to five, suitably up to three, groups including those substituents described hereinbefore for the alkyl group.

Cycloalkyl and cycloalkenyl groups referred to herein include groups having between three and eight ring carbon atoms, which carbon atoms are optionally substituted with up to five, suitably up to three, groups including those substituents described hereinbefore for the alkyl group.

When used herein the term "aryl" includes phenyl and biphenyl groups, for example naphthyl, especially phenyl.

Suitably optional substituents for any aryl group include up to three substituents selected from the list consisting of halo, alkyl, alkenyl, substituted alkenyl, arylalkyl, alkoxy, alkoxyalkyl, haloalkyl, haloalkyloxy, hydroxy, hydroxyalkyl, nitro, amino, cyano, cyanoalkyl , mono- and di-*N*-alkylamino, acyl, acylamino, N-alkylacylamino, acyloxy, carboxy, carboxyalkyl, carboxyalkylcarbonyl, carboxyalkenyl, ketoalkylester, carbamoyl, carbamoylalkyl, mono- and di-*N*-alkylcarbamoyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxy, arylthio, aralkyloxy, aryloxycarbonyl, ureido, guanidino, morpholino, adamantyl, oxazolyl, aminosulphonyl, alkylaminosulphonyl, alkylthio, haloalkylthio, alkylsulphinyl, alkylsulphonyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, trityl, substituted trityl, mono- or bis-alkylphosphonate or mono- or bis-alkylphosphonateC_{1-6}alkyl or any two adjacent substituents on the phenyl ring together with the carbon atoms to which they are attached form a carbocyclic ring or a heterocyclic ring.

When used herein the terms "heterocyclyl" and "heterocyclic" suitably include, unless otherwise defined, aromatic and non-aromatic, single and fused, rings suitably containing up to four heteroatoms in each ring, each of which is selected from oxygen, nitrogen and sulphur, which rings, may be unsubstituted or substituted by, for example, up to three substituents. Each ring suitably has from 4 to 7, preferably 5 or 6, ring atoms. A fused heterocyclic ring system may include carbocyclic rings and need include only one heterocyclic ring.

Substituents for any heterocyclyl or heterocyclic group are suitably selected from halogen, alkyl, arylalkyl, alkoxy, alkoxyalkyl, haloalkyl, hydroxy, amino, mono- and di-*N*-alkyl-amino, acylamino, carboxy salts, carboxy esters, carbamoyl, mono- and di-*N*-alkylcarbonyl, aryloxycarbonyl, alkoxycarbonylalkyl,

aryl, oxy groups, ureido, guanidino, sulphonylamino, aminosulphonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, heterocyclyl and heterocyclylalkyl.

When used herein 'halo' includes iodo, bromo, chloro or fluoro, especially chloro or fluoro.

Suitable derivatives of the compounds of the invention are pharmaceutically acceptable derivatives.

Suitable derivatives of the compounds of the invention include salts and solvates.

Suitable pharmaceutically acceptable derivatives include pharmaceutically acceptable salts and pharmaceutically acceptable solvates.

Suitable pharmaceutically acceptable salts include metal salts, such as for example aluminium, alkali metal salts such as lithium, sodium or potassium, alkaline earth metal salts such as calcium or magnesium and ammonium or substituted ammonium salts, for example those with lower alkylamines such as triethylamine, hydroxy alkylamines such as 2-hydroxyethylamine, bis-(2-hydroxyethyl)-amine or tri-(2-hydroxyethyl)-amine, cycloalkylamines such as bicyclohexylamine, or with procaine, dibenzylpiperidine, N-benzyl-b-phenethylamine, dehydroabietylamine, N,N'-bisdehydroabietylamine, glucamine, N-methylglucamine or bases of the pyridine type such as pyridine, collidine, quinine or quinoline.

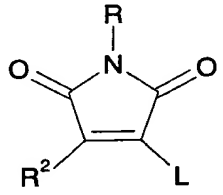
Suitable pharmaceutically acceptable salts also includes pharmaceutically acceptable acid addition salts, such as those provided by pharmaceutically acceptable inorganic acids or organic acids.

Suitable pharmaceutically acceptable acid addition salts provided by pharmaceutically acceptable inorganic acids includes the sulphate, nitrate, phosphate, borate, hydrochloride and hydrobromide and hydroiodide.

Suitable pharmaceutically acceptable acid addition salts provided by pharmaceutically acceptable organic acids includes the acetate, tartrate, maleate, fumarate, malonate, citrate, succinate, lactate, oxalate, benzoate, ascorbate, methanesulphonate, a-keto glutarate and a-glycerophosphate.

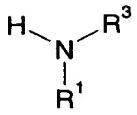
Suitable pharmaceutically acceptable solvates include hydrates.

A further aspect of the invention provides a process for the preparation of a compound of the invention, which process comprises reaction of a compound of formula (II):



(II)

wherein R and R^2 are as defined in formula (I) and L is a leaving group, with a compound of formula (III):



(III)

wherein R^1 and R^3 are as defined in formula (I); and thereafter, if required, carrying out one or more of the following optional steps:

(i) converting a compound of formula (I) to a further compound of formula (I);

(ii) removing any necessary protecting group;

(iii) preparing an appropriate derivative of the compound so formed.

The reaction between the compounds of formulae (II) and (III) is carried out in any suitable solvent, for example 1-methyl-2-pyrrolidinone or methanol, under conventional amination conditions at any temperature providing a suitable rate of formation of the required product, generally an elevated temperature, over a suitable reaction time.

Suitable reaction temperatures include those in the range of 60°C to 220°C and, as appropriate, the reflux temperature of the solvent. Conventional methods of heating also include the use of microwave heating devices, for example a microwave reactor, such as a 100 watt reactor.

The reaction products are isolated using conventional methods. Typically, the reaction mixture is cooled, the residue acidified and the products extracted using solvent extraction, suitably using an organic solvent.

The reaction products are purified by conventional methods, such as chromatography and trituration.

Crystalline product may be obtained by standard methods.

In a preferred aspect, a solution of the compound of formula (II) and a compound of formula (III) in methanol is heated to reflux from between 1 to 4 days, then cooled and concentrated. The residue is then acidified with hydrochloric acid, and extracted with ethyl acetate. The organic extracts are then washed with water, brine, dried with anhydrous magnesium sulphate, and the solvent is removed. The product is then purified by standard methods such as trituration or chromatography, on silica gel, to afford the desired compound.

The above mentioned conversion of a compound of formula (I) into a further compound of formula (I) includes converting one group R into another group R.

The said conversion of a compound of formula (I) to a further compound of formula (I) may be carried out by using any appropriate conventional procedure. For example, conversion of one group R into another group R includes converting a group R which represents hydrogen into a group R which represents an alkyl or arylalkyl group; such conversion may be carried out using an appropriate conventional alkylation procedure, for example treating an appropriately protected compound of formula (I) with an alkylating agent.

The above mentioned conversions may as appropriate be carried out on any of the intermediate compounds mentioned herein.

Suitable protecting groups in any of the above mentioned reactions are those used conventionally in the art The methods of formation and removal of such protecting groups are those conventional methods appropriate to the molecule being protected. Thus for example a benzyloxy group may be prepared by treatment of the appropriate compound with a benzyl halide, such as benzyl bromide, and thereafter, if required, the benzyl group may be conveniently removed using catalytic hydrogenation or a mild ether cleavage reagent such as trimethylsilyl iodide or boron tribromide.

Where appropriate individual isomeric forms of the compounds of formula (I) may be prepared as individual isomers using conventional chemical procedures.

The absolute stereochemistry of compounds may be determined using conventional methods, such as X-ray crystallography.

The derivatives of the compounds of formula (I), including salts and/or solvates, may be prepared and isolated according to conventional procedures.

The compounds of formula (II) are known compounds or they may be prepared using methods analogous to those used to prepare such compounds such as those described in International Patent Application, Publication Number WO97/34890 and Wiley, R.H. and Slaymaker, S.C. J. Am. Chem. Soc. (80) 1385 (1958). The compounds of formula (II) may be inter-converted in an analogous manner to the above mentioned inter-conversions of the compounds of formula (I).

The compounds of formula (III) are known commercially available compounds or they may be prepared using methods analogous to those used to prepare known compounds, for example those disclosed in standard reference

texts of synthetic methodology such as J. March, Advanced Organic Chemistry, 3rd Edition (1985), Wiley Interscience.

As stated above, the compounds of formula (I), or pharmaceutically acceptable derivatives thereof, are indicated to be useful as inhibitors of glycogen synthase kinase-3.

Thus the present invention further provides a compound of formula (I), or a pharmaceutically acceptable derivative thereof, for use as an inhibitor of glycogen synthase kinase-3, and especially for use in the treatment of conditions associated with a need for the inhibition of glycogen synthase kinase-3, such as diabetes, dementias, such as Alzheimer's disease, manic depression and cancer.

The present invention also provides the use of a compound of formula (I), or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for the treatment of conditions associated with a need for the inhibition of glycogen synthase kinase-3, such as diabetes, dementias, such as Alzheimer's disease, manic depression and cancer.

As indicated above, formula (I) comprises a sub-group of compounds of formula (IA). In a further aspect of this invention, there is provided a compound of formula (IA), or a pharmaceutically acceptable derivative thereof, for use as an active therapeutic substance.

Accordingly, the invention also provides a pharmaceutical composition which comprises a compound of formula (IA), or a pharmaceutically acceptable derivative thereof, and a pharmaceutically acceptable carrier.

Preferably, the compounds of formula (I), or pharmaceutically acceptable derivatives thereof are administered as pharmaceutically acceptable compositions.

The compositions of the invention re preferably adapted for oral administration. However, they may be adapted for other modes of administration.

The compositions may be in the form of tablets, capsules, powders, granules, lozenges, suppositories, reconstitutable powders, or liquid preparations, such as oral or sterile parenteral solutions or suspensions.
In order to obtain consistency of administration it is preferred that a composition of the invention is in the form of a unit dose.

Preferably the composition are in unit dosage form. A unit dose will generally contain from 0.1 to 1000 mg of the active compound.

Generally an effective administered amount of a compound of the invention will depend on the relative efficacy of the compound chosen, the severity of the disorder being treated and the weight of the sufferer. However, active compounds will typically be administered once or more times a day for

example 2, 3 or 4 times daily, with typical total daily doses in the range of from 0.1 to 800 mg/kg/day.

Suitable dose forms for oral administration may be tablets and capsules and may contain conventional excipients such as binding agents, for example syrup, acacia, gelatin, sorbitol, tragacanth, or polyvinylpyrrolidone; fillers, for example lactose, sugar, maize-starch, calcium phosphate, sorbitol or glycine; tabletting lubricants, for example magnesium stearate; disintegrants, for example starch, polyvinylpyrrolidone, sodium starch glycollate or microcrystalline cellulose; or pharmaceutically acceptable wetting agents such as sodium lauryl sulphate.

The solid oral compositions may be prepared by conventional methods of blending, filling or tabletting. Repeated blending operations may be used to distribute the active agent throughout those compositions employing large quantities of fillers. Such operations are of course conventional in the art. The tablets may be coated according to methods well known in normal pharmaceutical practice, in particular with an enteric coating.

Oral liquid preparations may be in the form of, for example, emulsions, syrups, or elixirs, or may be presented as a dry product for reconstitution with water or other suitable vehicle before use. Such liquid preparations may contain conventional additives such as suspending agents, for example sorbitol, syrup, methyl cellulose, gelatin, hydroxyethylcellulose, carboxymethylcellulose, aluminium stearate gel, hydrogenated edible fats; emulsifying agents, for example lecithin, sorbitan monooleate, or acacia; non-aqueous vehicles (which may include edible oils), for example almond oil, fractionated coconut oil, oily esters such as esters of glycerine, propylene glycol, or ethyl alcohol; preservatives, for example methyl or propyl p-hydroxybenzoate or sorbic acid; and if desired conventional flavouring or colouring agents.

For parenteral administration, fluid unit dosage forms are prepared utilizing the compound and a sterile vehicle, and, depending on the concentration used, can be either suspended or dissolved in the vehicle. In preparing solutions the compound can be dissolved in water for injection and filter sterilized before filling into a suitable vial or ampoule and sealing. Advantageously, adjuvants such as a local anaesthetic, a preservative and buffering agents can be dissolved in the vehicle. To enhance the stability, the composition can be frozen after filling into the vial and the water removed under vacuum. Parenteral suspensions are prepared in substantially the same manner, except that the compound is suspended in the vehicle instead of being dissolved, and sterilization cannot be accomplished by filtration. The compound can be sterilized by exposure to

ethylene oxide before suspending in the sterile vehicle. Advantageously, a surfactant or wetting agent is included in the composition to facilitate uniform distribution of the compound.

GSK-3 Assay: The GSK-3 specific peptide used in this assay was derived from the phosphorylation site of glycogen synthase and its sequence is: YRRAAVPPSPSLSRHSSPHQ(S)EDEEE. (S) is pre-phosphorylated as is glycogen synthase *in vivo* and the three consensus sites for GSK-3 specific phosphorylation are underlined. The buffer used to make up the glycogen synthase peptide and [γ-^{33}P] ATP consisted of MOPS 25mM, EDTA 0.2mM, MgAcetate 10mM, Tween-20 0.01% and mercaptoethanol 7.5mM at pH 7.00.

The compounds were dissolved in dimethyl sulphoxide (DMSO) to a final concentration of 100mM. Various concentrations were made up in DMSO and mixed with the substrate (GSK-3 peptide) solution (to a final concentration 20uM) described in the above section along with rabbit GSK-3α (final concentration 0.5U/ml enzyme). The reactions were initiated with the addition of [γ-^{33}P] ATP (500cpm/pmole) spiked into a mixture of ATP (final concentration of 10μM). After 30 min at room temperature the reaction was terminated by the addition of 10μl of H_3PO_4 / 0.01% Tween-20 (2.5%). A volume (10μl) of the mixture was spotted onto P-30 phosphocellulose paper (Wallac & Berthold, EG&G Instruments Ltd, Milton Keynes). The paper was washed four times in H_3PO_4 (0.5%), 2 mins for each wash, air dried and the radioactive phosphate incorporated into the synthetic glycogen synthase peptide, which binds to the P-30 phosphocellulose paper, was counted in a Wallac microbeta scintillation counter.

Analysis of Data: Values for IC_{50} for each inhibitor were calculated by fitting a four-parameter logistic curve to the model : cpm=lower+(upper-lower) /(1 + (concentration/ IC_{50}) ^{slope}). The most potent compounds of the present invention show IC50 values in the range of from between 10 to 100 nM.

No adverse toxicological effects are expected for the compounds of the invention, when administered in accordance with the invention.

The following Examples illustrate the invention, but do not limit it in any way.

Example 1

3-(3-Bromophenylamino)-4-(4-chlorophenyl)-1H-pyrrole-2,5-dione

A solution of 3-bromoaniline (2.27 mL, 0.020 mol) and 3-chloro-4-(4-chlorophenyl)-1H-pyrrole-2,5-dione (2.02 g, 0.0083 mol; prepared by analogy with the methods described in WO97/34890 and Wiley, R.H. and Slaymaker, S.C. J. Am. Chem. Soc. (80) 1385 (1958)) in methanol (50 mL) was heated at reflux for 40 hours, cooled and concentrated. The residue was acidified with aqueous hydrochloric acid (1M, 200 mL) and extracted with ethyl acetate (3 x 200 mL). The combined organic solutions were washed with water and brine, dried with magnesium sulphate, evaporated and the residue chromatographed on silica gel using dichloromethane-diethyl ether (gradient from 100:0 to 95:5 v/v) as eluent to afford the title compound as a solid.

^{1}H NMR (DMSO-d_6): δ6.70-7.30 (8H, m), δ9.65 (1H, br), δ10.90 (1H, br).
MS (APCI +ve): $[M+H]^+$ at m/z 377/379/381 ($C_{16}H_{10}BrClN_2O_2$ requires $[M+H]^+$ at m/z 377/379/381).

Example 2

3-(4-Benzoylphenylamino)-4-(4-chlorophenyl)-1H-pyrrole-2,5-dione

A sealed tube (comprising threaded glass tube with resealable cap) containing a mixture of 4-aminobenzophenone (0.147 g, 0.75 mmol), 3-chloro-4-(4-chlorophenyl)-1H-pyrrole-2,5-dione (0.061 g, 0.25 mmol) and 1-methyl-2-pyrrolidinone (0.5 mL) was irradiated in a microwave reactor for 12 minutes at 100 Watts. The mixture was diluted with aqueous hydrochloric acid (5 mL) and extracted with ethyl acetate (2 x 5 mL). The combined organic solutions were evaporated and the residue chromatographed on silica gel using dichloromethane as eluent to afford the title compound as a solid.

^{1}H NMR (DMSO-d_6): δ6.85 (2H, d), δ7.00 (2H, d), δ7.25 (2H, d), δ7.35 (2H, d), δ7.50-7.70 (5H, m), δ9.95 (1H, s), δ10.95 (1H, s)
MS (APCI -ve): $[M]^-$. at m/z 402/404 ($C_{23}H_{15}ClN_2O_3$ requires $[M]^-$. at m/z 402/404)

Example 3

3-(3-Bromo-4-methylphenylamino)-4-(3-nitrophenyl)-1H-pyrrole-2,5-dione

A mixture of 3-bromo-4-methylaniline (0.220 g, 1.18 mmol), 3-chloro-4-(3-nitrophenyl)-1H-pyrrole-2,5-dione (0.100 g, 0.40 mmol) and 1-methyl-2-pyrrolidinone (1.0 mL) was heated in an oil bath at 200°C for 51 minutes. The mixture was diluted with aqueous hydrochloric acid (5 mL) and extracted with ethyl acetate (5 mL). The combined organic solutions were evaporated and the residue chromatographed on silica gel using dichloromethane as eluent to afford the title compound, a solid, following trituration with dichloromethane-hexane (90:10 v/v).

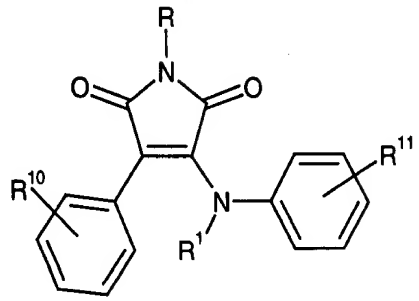
^1H NMR ($CDCl_3$): δ2.24 (3H, s), δ6.65-7.70 (7H, m, reduces to 5H on D_2O exchange), δ8.05 (2H, m)
MS (APCI -ve): $[M-H]^-$ at m/z 400/402 ($C_{17}H_{12}BrN_3O_4$ requires $[M-H]^-$ at m/z 400/402).

The further examples described herein were prepared according to the methods disclosed herein, with particular reference to Examples 1 to 3 above. Examples 1 to 3 themselves are shown as examples A1, A2 and A3 respectively in Table A.

The following tables of examples illustrate the invention, but do not limit it in any way.

Table A

Encompassing compounds of general formula (X-1), wherein group R^2 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{10} and group R^3 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{11} and substituents R, R^1, R^{10} and R^{11} are listed in Table A.



(X-1)

Example No.	R	R^1	R^{10}	R^{11}	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
A1	H	H	4-Cl	3-Br	377/379/381
A2	H	H	4-Cl	4-COPh	402/404 [M]-
A3	H	H	3-NO2	3-Br-4-Me	400/402 [M-H]-
A4	H	H	H	H	265
A5	Me	H	H	H	279
A6	H	H	H	4-OMe	295
A7	H	H	H	4-Me	279
A8	H	H	H	4-Cl	299/301
A9	H	H	H	2-Me	277 [M-H]-
A10	H	H	H	2-OMe	295
A11	H	H	H	4-O*n*Bu	337
A12	H	H	H	4-*n*Bu	321
A13	Me	H	H	4-Cl	313/315
A14	Me	H	H	4-OMe	309
A15	Et	H	H	H	293
A16	Et	H	H	4-Cl	327/329
A17	Et	H	H	4-OMe	323
A18	Ph	H	H	H	341
A19	Ph	H	H	4-Cl	375/377
A20	Ph	H	H	4-OMe	371
A21	CH2Ph	H	H	H	355

A22	CH2Ph	H	H	4-Cl	389
A23	CH2Ph	H	H	4-OMe	385
A24	H	H	H	4-SMe	311
A25	H	H	H	4-(1-Morpholinyl)	350
A26	H	H	H	3-SMe	311
A27	H	H	H	3-OPh	357
A28	H	H	H	4-F	283
A29	H	H	4-Cl	4-OMe	329/331
A30	H	H	4-OMe	2-OMe	325
A31	H	H	4-OMe	4-O*n*Bu	367
A32	H	H	4-OMe	3-OPh	387
A33	H	H	4-OMe	3-SMe	341
A34	H	H	4-OMe	4-F	313
A35	H	H	4-OMe	4-SMe	341
A36	H	H	4-OMe	4-*n*Bu	351
A37	H	H	4-OMe	H	295
A38	H	H	4-OMe	4-Cl	329/331
A39	H	H	4-Cl	3-Cl	333/335/337
A40	H	H	4-Cl	2-OMe	329/331
A41	H	H	4-Cl	4-O*n*Bu	371/373
A42	H	H	4-Cl	3-OPh	391/393
A43	H	H	4-Cl	3-SMe	345/347
A44	H	H	4-Cl	4-CF3	367/369
A45	H	H	4-Cl	4-F	317/319

A46	H	H	4-Cl	4-SMe	345/347
A47	H	H	4-Cl	3-CF3	367/369
A48	H	H	4-Cl	4-*n*Bu	355/357
A49	H	H	4-Cl	H	299/301
A50	H	H	4-Cl	2-Me-4-Cl	347/349/351
A51	H	H	4-Cl	4-Cl	333/335/337
A52	H	H	4-Cl	2-Me	313/315
A53	H	H	4-Cl	2,3-[(-CH=CH-)2]	349/351
A54	H	H	2,3-[(-CH=CH-)2]	4-O*n*Bu	387
A55	H	H	2,3-[(-CH=CH-)2]	4-F	331 [M-H]-
A56	H	H	2,3-[(-CH=CH-)2]	4-SMe	361
A57	H	H	2,3-[(-CH=CH-)2]	4-*n*Bu	371
A58	H	H	2,3-[(-CH=CH-)2]	H	315
A59	H	H	4-OMe	4-OMe	325
A60	H	H	4-OMe	3-Cl	329/331
A61	H	H	4-OMe	2-Me	309
A62	H	H	3,4,5-tri-OMe	4-OMe	385
A63	H	H	3,4,5-tri-OMe	H	355
A64	H	H	H	3-Cl	299
A65	H	H	4-CF3	2-Me	345 [M-H]-
A66	H	H	4-CF3	2-Et	359 [M-H]-
A67	H	H	4-CF3	2-*i*Pr	375
A68	H	H	4-CF3	2-F	349 [M-H]-
A69	H	H	4-CF3	2-Cl	365/367 [M-H]-

A70	H	H	4-CF3	2-SMe	379
A71	H	H	4-CF3	3-SMe	379
A72	H	H	4-CF3	3-Me	345 [M-H]-
A73	H	H	4-CF3	3-Et	361
A74	H	H	4-CF3	3-OMe	363
A75	H	H	4-CF3	3-Cl	365/367
A76	H	H	4-CF3	3-F	349 [M-H]-
A77	H	H	4-CF3	3-Br	409/411 [M-H]-
A78	H	H	4-CF3	3-I	457 [M-H]-
A79	H	H	4-CF3	3-OCH2Ph	439
A80	H	H	4-CF3	3-CONH2	375 [M]-
A81	H	H	3,4,5-tri-OMe	4-Cl	389/391
A82	H	H	4-Cl	2-Et	327/329
A83	H	H	4-Cl	2-*i*Pr	341/343
A84	H	H	4-Cl	2-F	317/319
A85	H	H	4-Cl	2-SMe	345/347
A86	H	H	4-Cl	3-Me	313/315
A87	H	H	4-Cl	3-Et	327/329
A88	H	H	4-Cl	3-OMe	329/331
A89	H	H	4-Cl	3-F	315/317 [M-H]-
A90	H	H	4-Cl	3-I	423/425 [M-H]-
A91	H	H	4-Cl	3-OCH2Ph	405/407
A92	H	H	4-Cl	3-CONH2	342/344
A93	H	H	2-CF3	3-SMe	377 [M-H]-

A94	H	H	2-CF3	3-Me	347
A95	H	H	2-CF3	3-Et	361
A96	H	H	4-OMe	4-Me	309
A97	H	H	4-OMe	4-*t*Bu	351
A98	H	H	4-OMe	3,4-[(CH2)3]	335
A99	H	H	4-OMe	3,5-di-Me	323
A100	H	H	4-OMe	3-OCH2Ph	401
A101	H	H	4-OMe	3-OMe	325
A102	H	H	4-OMe	3-I	421
A103	H	H	4-OMe	3,4-[OCH2O]	339
A104	H	H	4-OMe	3,5-di-OMe	355
A105	H	H	3-OMe	4-*n*Bu	351
A106	H	H	3-OMe	3-OPh	387
A107	H	H	3-OMe	4-SMe	341
A108	H	H	3-OMe	4-Me	309
A109	H	H	3-OMe	4-*t*Bu	351
A110	H	H	3-OMe	3,5-di-Me	323
A111	H	H	3-OMe	3-OCH2Ph	401
A112	H	H	3-OMe	3-OMe	325
A113	H	H	3-OMe	3-I	421
A114	H	H	3-OMe	3,4-[OCH2O]	339
A115	H	H	3-OMe	3,5-di-OMe	355
A116	H	H	3-OMe	4-OMe	325
A117	H	H	3-OMe	3,4-[(CH2)3]	335

A118	H	H	3-OMe	4-SCF3	395
A119	H	H	2-OMe	4-*n*Bu	351
A120	H	H	2-OMe	3-OPh	387
A121	H	H	2-OMe	4-SMe	341
A122	H	H	2-OMe	4-Me	309
A123	H	H	2-OMe	4-*t*Bu	351
A124	H	H	2-OMe	3,4-[(CH2)3]	335
A125	H	H	2-OMe	3,5-di-Me	323
A126	H	H	2-OMe	3-OCH2Ph	401
A127	H	H	2-OMe	3-OMe	325
A128	H	H	2-OMe	3-I	421
A129	H	H	2-OMe	3,5-di-OMe	355
A130	H	H	2-OMe	4-OMe	325
A131	H	H	2-OMe	3-CF3	363
A132	H	H	4-OMe	3-CF3	363
A133	H	H	3-OMe	3-CF3	363
A134	H	H	2-OMe	3,4-[OCH2O]	339
A135	H	Me	4-CF3	H	347
A136	H	H	4-CF3	H	333
A137	H	H	4-CF3	2,3-[(-CH=CH-)2]	383
A138	H	H	4-CF3	4-CF3	401
A139	H	H	4-CF3	4-CN	358
A140	H	H	4-CF3	4-COPh	437
A141	H	H	2-CF3	H	333

A142	H	H	2-CF3	2-Me	347
A143	H	H	4-CF3	2-Me-4-Cl	381/383
A144	H	H	4-OMe	3-CH2OH	325
A145	H	H	H	2,3-[(-CH=CH-)2]	315
A146	H	H	4-Cl	3-OH	315/317
A147	H	Me	H	H	279
A148	H	Me	4-Ph	H	355
A149	H	Me	4-Cl	H	313/315
A150	H	Me	4-OMe	H	309
A151	H	Me	3-NO2	H	324
A152	H	Me	3-OMe	H	309
A153	H	H	4-CF3	4-CO2H	377
A154	H	H	4-Ph	4-Me	355
A155	H	H	4-Ph	4-O*n*Bu	412 [M]-
A156	H	H	4-Ph	4-*n*Bu	397
A157	H	H	4-Ph	4-SMe	387
A158	H	H	4-Ph	2-Me	355
A159	H	H	4-Ph	3-SMe	387
A160	H	H	4-Ph	3-OPh	433
A161	H	H	4-Ph	3-Cl	375/377
A162	H	H	4-Ph	2-COMe	383
A163	H	H	4-Ph	3-Br	417/419 [M-H]-
A164	H	H	4-Ph	3-(5-Oxazolyl)	407 [M]-
A165	H	H	4-Ph	3-OH	357

A166	H	H	3-NO2	4-Me	324
A167	H	H	3-NO2	4-O*n*Bu	382
A168	H	H	3-NO2	4-SMe	356
A169	H	H	3-NO2	2-Me	324
A170	H	H	3-NO2	3-SMe	356
A171	H	H	3-NO2	3-OPh	402
A172	H	H	3-NO2	3-Cl	344/346
A173	H	H	3-NO2	3,5-di-Cl	376/378/380 [M-H]-
A174	H	H	3-NO2	3-COMe	350 [M-H]-
A175	H	H	3-NO2	3-Br	388/390
A176	H	H	3-NO2	3-(5-Oxazolyl)	375 [M-H]-
A177	H	H	3-NO2	3-OH	326
A178	H	H	3-NO2	4-*n*Bu	366
A179	H	H	4-CF3	4-NO2	378
A180	H	H	3,4,5-tri-OMe	4-Me	369
A181	H	H	3,4,5-tri-OMe	4-O*n*Bu	427
A182	H	H	3,4,5-tri-OMe	4-*n*Bu	411
A183	H	H	3,4,5-tri-OMe	4-SMe	401
A184	H	H	3,4,5-tri-OMe	3-SMe	401
A185	H	H	3,4,5-tri-OMe	3-COMe	397
A186	H	H	3,4,5-tri-OMe	3-(5-Oxazolyl)	422
A187	H	H	3,4,5-tri-OMe	3-OH	371
A188	H	H	H	4-CF3	333
A189	H	H	4-OMe	4-(CH2)2OH	337 [M-H]-

A190	H	H	H	4-(CH2)2OH	309
A191	H	H	2-Cl	4-OMe	329
A192	H	H	H	3-CF3	331 [M-H]-
A193	H	H	4-Cl	4-CN	323/325 [M]-
A194	H	H	4-CF3	2,4,6-tri-Me	375
A195	H	H	4-Cl	2,3-[(CH2)4]	353/355
A196	H	H	4-Cl	4-*t*Bu	355/357
A197	H	H	4-Cl	4-CH2P(O)(OEt)2	449/451
A198	H	H	4-Cl	4-OPh	391/393
A199	H	H	4-Cl	4-(Cyclohexyl)	381/383
A200	H	H	4-Cl	2-CH2Ph	389/391
A201	H	H	4-Cl	4-Br-3-Cl	411/413/415/417
A202	H	H	4-Cl	4-I-3-Cl	459/461/463
A203	H	H	4-Cl	3,4-di-Cl	367/369/371/373
A204	H	H	4-Cl	3,5-di-Cl	367/369/371/373
A205	H	H	4-Cl	3,5-di-Cl-4-OH	383/385/387/389
A206	H	H	4-Cl	3,5-di-F	335/337
A207	H	H	4-Cl	4-Br	377/379/381
A208	H	H	4-Cl	4-I	425/427
A209	H	H	4-Cl	3-NO2	344/346
A210	H	H	4-Cl	2-OH	315/317
A211	H	H	4-Cl	4-OH	315/317
A212	H	H	4-Cl	3,5-di-Br-4-Me	469/471/473/475
A213	H	H	4-Cl	3,4-[OCH2O]	343/345

A214	H	H	4-Cl	3,4-[CH=N-NH]	339/341
A215	H	H	4-Cl	3,4-[NH-N=CH]	339/341
A216	H	H	4-Cl	3-Br-2-Me	391/393/395
A217	H	H	4-Cl	3-Br-4-Me	391/393/395
A218	H	H	4-Cl	3-Cl-2-Me	347/349/351
A219	H	H	4-Cl	3-F-4-Me	331/333
A220	H	H	4-Cl	3-F-6-Me	331/333
A221	H	H	4-Cl	4-Me	313/315
A222	H	H	4-Cl	2-CH2OH	329/331
A223	H	H	4-Cl	3-CH2OH	329/331
A224	H	H	4-Cl	4-OH-2-Me	329/331
A225	H	H	4-Cl	4-NHCOMe	356/358
A226	H	H	4-Cl	2,3-di-Me	327/329
A227	H	H	4-Cl	2,4-di-Me	327/329
A228	H	H	4-Cl	3,4-di-Me	327/329
A229	H	H	4-Cl	3,5-di-Me	327/329
A230	H	H	4-Cl	3-CH2OH-6-Me	343/345
A231	H	H	4-Cl	4-OMe-2-Me	343/345
A232	H	H	4-Cl	4-(CH2)2OH	343/345
A233	H	H	4-Cl	3,5-di-OMe	359/361
A234	H	H	4-Cl	4-CH2CN	338/340
A235	H	H	4-Cl	3,4-[CH=CH-NH]	338/340
A236	H	H	4-Cl	3-COMe	341/343
A237	H	H	4-Cl	4-CH2CO2H	357/359

A238	H	H	4-Cl	3,4-[(CH2)3]	337/339 [M-H]-
A239	H	H	4-Cl	4-N(Me)COMe	370/372
A240	H	H	4-Cl	3-O*i*Pr	357/359
A241	H	H	4-Cl	4-(CH2)2CONH2	370/372
A242	H	H	3,4-[OCH2O]	3-OPh	401
A243	H	H	4-Cl	4-CONH2	340/342 [M-H]-
A244	H	H	4-F	2-Me	297
A245	H	H	4-F	3-SMe	329
A246	H	H	4-F	3-Cl	317/319
A247	H	H	4-F	4-Cl-2-Me	331/333
A248	H	H	4-F	3-OPh	375
A249	H	H	4-F	4-SMe	329
A250	H	H	4-F	4-*t*Bu	339
A251	H	H	4-F	3,4-[(CH2)3]	323
A252	H	H	2-OMe	3-Me	309
A253	H	H	2-OMe	3-F	313
A254	H	H	2-OMe	2-F	313
A255	H	H	2-OMe	4-Cl-2-Me	343/345
A256	H	H	2-OMe	2-Me	309
A257	H	H	2-OMe	3-SMe	341
A258	H	H	3-Cl	2-Me	313/315
A259	H	H	3-Cl	3-SMe	345/347
A260	H	H	3-Cl	3-Cl	333/335/337
A261	H	H	3-Cl	4-Cl-2-Me	347/349/351

A262	H	H	3-Cl	3-OPh	391/393
A263	H	H	3-Cl	4-SMe	345/347
A264	H	H	3-Cl	4-*t*Bu	355/357
A265	H	H	3-Cl	3,4-[(CH2)3]	339/341
A266	H	H	3,4-[(-CH=CH-)2]	3-Me	329
A267	H	H	3,4-[(-CH=CH-)2]	3-F	333
A268	H	H	3,4-[(-CH=CH-)2]	4-Cl-2-Me	363/365
A269	H	H	3,4-[(-CH=CH-)2]	2-Me	329
A270	H	H	3,4-[(-CH=CH-)2]	3-SMe	361
A271	H	H	3,4-[(-CH=CH-)2]	3-Cl	349/351
A272	H	H	4-I	2-Me	405
A273	H	H	4-I	3-SMe	437
A274	H	H	4-I	3-Cl	425/427
A275	H	H	4-I	4-Cl-2-Me	439/441
A276	H	H	4-I	3-OPh	483
A277	H	H	4-I	4-SMe	437
A278	H	H	4-I	4-*t*Bu	447
A279	H	H	4-I	3,4-[(CH2)3]	431
A280	H	H	4-OMe	3-Me	309
A281	H	H	4-OMe	3-F	313
A282	H	H	3-OMe	2-Me	309
A283	H	H	3-OMe	3-SMe	341
A284	H	H	3-OMe	3-Cl	329/331
A285	H	H	2-OMe	3-Cl	329/331

A286	H	H	4-F	3-Br	361/363
A287	H	H	4-OMe	3-Br	373/375
A288	H	H	3,4-[(-CH=CH-)2]	3-Br	393/395
A289	H	H	4-I	3-Br	469/471
A290	H	H	4-Cl	4-NO2	342/344 [M-H]-
A291	H	H	3,4-di-Cl	3-Br	411/413/415/417
A292	H	H	3-Cl	3-Br	377/379/381
A293	H	H	2-Cl	3-OPh	391/393
A294	H	H	2-Cl	3-Cl	333/335
A295	H	H	2-Cl	3-SMe	345/347
A296	H	H	2-Cl	4-SMe	345/347
A297	H	H	3-OMe	4-CONH2	337 [M]-
A298	H	H	4-Cl	4-CO2H	297/299 Fragment ion [M-CO2H]-
A299	H	H	4-OMe	4-CN	320
A300	H	H	2-Cl	4-*n*Bu	355/357
A301	H	H	2-Cl	3-Br	375/377/379 [M]-
A302	H	H	2-Cl	4-Me	313/315
A303	H	H	4-Cl	3-Cl-6-Me	347/349/351
A304	H	H	3-NO2	3-Cl-4-Me	356/358 [M-H]-
A305	H	H	3-NO2	4-COPh	414
A306	H	H	3,5-di-F	3-Br	379/381
A307	H	H	3-CF3	3-Br	411/413
A308	H	H	4-Me	3-Br	357/359

A309	H	H	4-Br	3-SMe	389/391
A310	H	H	4-Br	4-Me	357/359
A311	H	H	4-Br	3,5-di-Cl	409/411/413/415 [M-H]-
A312	H	H	4-Br	3-OPh	435/437
A313	H	H	4-Br	3,4-[(CH2)3]	383/385
A314	H	H	4-Me	3-SMe	325
A315	H	H	4-Me	4-Me	293
A316	H	H	4-Me	3-OPh	371
A317	H	H	4-Me	3,4-[(CH2)3]	319
A318	H	H	4-Me	4-SMe	325
A319	H	H	4-SMe	3-SMe	357
A320	H	H	4-SMe	4-Me	325
A321	H	H	4-SMe	3-OPh	403
A322	H	H	4-SMe	3,4-[(CH2)3]	351
A323	H	H	4-SMe	4-SMe	357
A324	H	H	3-CF3	3-SMe	379
A325	H	H	3-CF3	4-Me	347
A326	H	H	3-CF3	3,5-di-Cl	399/401/403 [M-H]-
A327	H	H	3-CF3	3-OPh	425
A328	H	H	3-CF3	3,4-[(CH2)3]	373
A329	H	H	3-CF3	4-SMe	379
A330	H	H	3,5-di-F	3-SMe	347
A331	H	H	3,5-di-F	4-Me	315
A332	H	H	3,5-di-F	3,5-di-Cl	367/369/371 [M]-

A333	H	H	3,5-di-F	3-OPh	393
A334	H	H	3,5-di-F	3,4-[(CH2)3]	341
A335	H	H	3,5-di-F	4-SMe	347
A336	H	H	3,4-di-Cl	3-SMe	379/381/383
A337	H	H	3,4-di-Cl	4-Me	347/349/351
A338	H	H	3,4-di-Cl	3,5-di-Cl	399/401/403/405/407 [M-H]-
A339	H	H	3,4-di-Cl	3-OPh	423/425/427 [M]-
A340	H	H	3,4-di-Cl	3,4-[(CH2)3]	373/375/377
A341	H	H	3,4-di-Cl	4-SMe	379/381/383
A342	H	H	3-Br	3-SMe	389/391
A343	H	H	3-Br	4-Me	355/357 [M]-
A344	H	H	3-Br	3,5-di-Cl	409/411/413/415 [M-H]-
A345	H	H	3-Br	3-OPh	435/437
A346	H	H	3-Br	3,4-[(CH2)3]	383/385
A347	H	H	3-Br	4-SMe	389/391
A348	H	H	4-NO2	3-SMe	356
A349	H	H	4-NO2	4-Me	324
A350	H	H	4-NO2	3,5-di-Cl	376/378/380 [M-H]-
A351	H	H	4-NO2	3-OPh	402
A352	H	H	4-NO2	3,4-[(CH2)3]	350
A353	H	H	4-NO2	4-SMe	356
A354	H	H	4-Br	4-SMe	389/391
A355	H	H	3-NO2	4-NO2	353 [M]-

A356	H	H	3-NO2	3,5-di-Cl-4-OH	392/394/396 [M-H]-
A357	H	H	3-NO2	4-*t*Bu	366
A358	H	H	3-NO2	3,5-di-Br-4-OH	482/484/486
A359	H	H	3-NO2	3,4-[(CH2)3]	350
A360	H	H	3-NO2	3-Br-4-OCF3	470/472[M-H]-
A361	H	H	3-NO2	3-Br-5-CF3	454/456[M-H]-
A362	H	H	3-NO2	4-CH2CN	349
A363	H	H	3-NO2	4-(CH2)2CONH2	381
A364	H	H	3-NO2	3-F	326[M-H]-
A365	H	H	3-NO2	3-F-4-Me	342
A366	H	H	3-NO2	4-Cl	342/344[M-H]-
A367	H	H	3-NO2	4-OMe	340
A368	H	H	3-NO2	3-Et	338
A369	H	H	3-NO2	2-F	328
A370	H	H	3-NO2	3,5-di-F	344[M-H]-
A371	H	H	3-NO2	3,4-[S-CH=N]	367
A372	H	H	3-NO2	4-OPh	402
A373	H	H	3-NO2	4-*trans*-CH=CHCO2H	378[M-H]-
A374	H	H	3-NO2	4-OCH2Ph	416
A375	H	H	3-NO2	3-CO(CH2)2CO2Me	422[M-H]-
A376	H	H	3-NO2	3-NO2	353 [M]-
A377	H	H	3-NO2	4-CN	333 [M]-
A378	H	H	4-Cl	4-OH-3-CO2H	359/361
A379	H	H	4-Cl	3-CO2H	341/343 [M-H]-

A380	H	H	4-Cl	4-SCH2CO2Me	403/405
A381	H	H	4-Cl	4-OH-3-NO2	360/362
A382	H	H	4-Cl	4-(CH2)2CO2H	371/373
A383	H	H	4-Cl	4-Cl-3-CO2H	375/377/379 [M-H]-
A384	H	H	4-Cl	4-(CH2)3CO2H	385/387
A385	H	H	4-Cl	3-SO2CF3	429/431[M-H]-
A386	H	H	4-Cl	3-COPh	403/405
A387	H	H	4-Cl	3,5-di-Br-4-OH	471/473/475/477
A388	H	H	4-Cl	4-CPh3	541/543
A389	H	H	4-Cl	3-CH2CO2H	355/357 [M-H]-
A390	H	H	4-Cl	4-(1-Adamantyl)	433/435
A391	H	H	4-Cl	3-CO2H-4-[S-(2-CO2H-Ph)]	373/375 Fragment ion [M-C7H5O2]-
A392	H	H	4-Cl	2-[O(CH2)2OMe]-5-(CH2)2CO2H	443/445 [M-H]-
A393	H	H	4-Cl	3-Br-4-Cl	411/413/415/417
A394	H	H	4-Cl	2-OPh	391/393
A395	H	H	4-Cl	4-CH2SO2NHMe	311/313 Fragment ion [M - CH4NO2S]+
A396	H	H	3-NO2	4-CO2H	352 [M-H]-
A397	H	H	3-NO2	3-COPh	414
A398	H	H	4-Cl	3-CH2CO2Me	371/373
A399	H	H	4-OH	3-Br	359/361
A400	H	H	4-Br	4-COPh	447/449

A401	H	H	4-SMe	4-COPh	415
A402	H	H	4-OH	4-SMe	327
A403	H	H	4-*i*Pr	3-SMe	351[M-H]-
A404	H	H	4-*i*Pr	4-Me	319[M-H]-
A405	H	H	4-*i*Pr	3,4-[(CH2)3]	345[M-H]-
A406	H	H	3,5-di-Me	3-SMe	337[M-H]-
A407	H	H	3,5-di-Me	4-Me	305[M-H]-
A408	H	H	3,5-di-Me	3,4-[(CH2)3]	331[M-H]-
A409	H	H	3,5-di-Me	4-SMe	337[M-H]-
A410	H	H	4-*i*Pr	4-SMe	351[M-H]-
A411	H	H	2-Br	3-SMe	387/389[M-H]-
A412	H	H	2-Br	4-Me	355/357[M-H]-
A413	H	H	2-Br	3,4-[(CH2)3]	381/383[M-H]-
A414	H	H	2-Br	4-SMe	387/389[M-H]-
A415	H	H	3,5-bis-CF3	3-SMe	446[M]-
A416	H	H	3,5-bis-CF3	4-Me	414[M]-
A417	H	H	3,5-bis-CF3	3,5-di-Cl	468/470/472 [M]-
A418	H	H	3,5-bis-CF3	3,4-[(CH2)3]	440[M]-
A419	H	H	3,5-bis-CF3	4-SMe	446[M]-
A420	H	H	4-OPh	3-SMe	401[M-H]-
A421	H	H	4-OPh	4-Me	369[M]-
A422	H	H	4-OPh	3,4-[(CH2)3]	395[M-H]-
A423	H	H	4-OPh	4-SMe	401[M-H]-
A424	H	H	4-OH	4-Me	295

A425	H	H	4-OCH2Ph	3-SMe	415[M-H]-
A426	H	H	4-OCH2Ph	3,4-[(CH2)3]	409[M-H]-
A427	H	H	4-OCH2Ph	4-SMe	415[M-H]-
A428	H	H	3,4-di-OMe	3-SMe	371
A429	H	H	3,4-di-OMe	4-Me	337[M-H]-
A430	H	H	3,4-di-OMe	3,4-[(CH2)3]	363[M-H]-
A431	H	H	3-Cl-4-OMe	4-SMe	373/375 [M-H]-
A432	H	H	3-Cl-4-OMe	3-SMe	373/375 [M-H]-
A433	H	H	3-Cl-4-OMe	4-Me	341/343 [M-H]-
A434	H	H	3-Cl-4-OMe	3,4-[(CH2)3]	369/371
A435	H	H	3-NO2	4-COMe	352
A436	H	H	4-OH	3-OPh	371[M-H]-
A437	H	H	4-OH	3-Br-4-Me	371/373[M-H]-
A438	H	H	4-OH	3,4-[(CH2)3]	321
A439	H	H	3,5-di-Me	3-OPh	383[M-H]-
A440	H	H	2-Br	3-OPh	434[M-H]-
A441	H	H	3,5-bis-CF3	3-OPh	492[M]-
A442	H	H	4-OCH2Ph	3-OPh	461[M-H]-
A443	H	H	3-Cl-4-OMe	3-OPh	419/421 [M-H]-
A444	H	H	3,4-di-OMe	3-OPh	415[M-H]-
A445	H	H	4-OPh	3-OPh	447[M-H]-
A446	H	H	4-OCH2Ph	4-Me	383[M-H]-
A447	H	H	2-Cl	3-Cl-4-Me	347/349/351
A448	H	H	3,4-[OCH2O]	3-SMe	353[M-H]-

A449	H	H	3,4-[OCH2O]	4-Me	323
A450	H	H	3,4-[OCH2O]	3,4-[(CH2)3]	349
A451	H	H	3,4-[OCH2O]	4-SMe	355
A452	H	H	3,4-[OCH2O]	3-Br	387/389
A453	H	H	3,4-[OCH2O]	3-Br-4-Me	401/403
A454	H	H	2-Me	4-Me	293
A455	H	H	2-Me	3,4-[(CH2)3]	319
A456	H	H	2-Me	4-SMe	325
A457	H	H	3-Me	3-OPh	371
A458	H	H	3-Br	4-Cl	375/377/379 [M-H]-
A459	H	H	4-*i*Pr	3-OPh	397[M-H]-
A460	H	H	4-CH2OMe	3-SMe	353[M-H]-
A461	H	H	4-CH2OMe	4-Me	321[M-H]-
A462	H	H	4-CH2OMe	H	307[M-H]-
A463	H	H	4-CH2OMe	3-OPh	399[M-H]-
A464	H	H	4-CH2OMe	3,4-[(CH2)3]	347[M-H]-
A465	H	H	4-CH2OMe	4-SMe	353[M-H]-
A466	H	H	4-CH2OMe	3-Br	385/387[M-H]-
A467	H	H	4-CH2OMe	3-Br-4-Me	399/401[M-H]-
A468	H	H	2-Me	4-Cl	313/315
A469	H	H	2,5-di-OMe	3-SMe	369[M-H]-
A470	H	H	2,5-di-OMe	4-Me	337[M-H]-
A471	H	H	2,5-di-OMe	H	323[M-H]-
A472	H	H	2,5-di-OMe	3-OPh	415[M-H]-

A473	H	H	2,5-di-OMe	3,4-[(CH2)3]	363[M-H]-
A474	H	H	2,5-di-OMe	4-SMe	369[M-H]-
A475	H	H	2,5-di-OMe	3-Br	401/403 [M-H]-
A476	H	H	2,5-di-OMe	3-Br-4-Me	415/417[M-H]-
A477	H	H	4-OCF3	3-SMe	393[M-H]-
A478	H	H	4-OCF3	4-Me	361[M-H]-
A479	H	H	4-OCF3	H	347[M-H]-
A480	H	H	4-OCF3	3-OPh	439[M-H]-
A481	H	H	4-OCF3	3,4-[(CH2)3]	387[M-H]-
A482	H	H	4-OCF3	3-Br	425/427[M-H]-
A483	H	H	4-OCF3	3-Br-4-Me	439/441 [M-H]-
A484	H	H	4-OCF3	4-SMe	393[M-H]-
A485	H	H	3-SCF3	3-SMe	409[M-H]-
A486	H	H	3-SCF3	4-Me	377[M-H]-
A487	H	H	3-SCF3	H	363[M-H]-
A488	H	H	3-SCF3	3-OPh	455[M-H]-
A489	H	H	3-SCF3	3,4-[(CH2)3]	403[M-H]-
A490	H	H	3-SCF3	4-SMe	409[M-H]-
A491	H	H	3-SCF3	3-Br	441/443[M-H]-
A492	H	H	3-SCF3	3-Br-4-Me	455/457[M-H]-
A493	H	H	3-Cl	4-Cl	333/335/337
A494	H	H	4-Cl	3,4-[S-CH=N]	356/358
A495	H	H	2-OMe	3,4-[S-CH=N]	352
A496	H	H	4-OMe	3,4-[S-CH=N]	352

A497	H	H	4-Br	4-CH=CHCO2H	411/413 [M-H]-
A498	H	H	4-Br	4-CH(OMe)Me	401/403
A499	H	H	2-Me	3-SMe	325
A500	H	H	2-Me	3-Br-4-Me	371/373
A501	H	H	3-F	3-SMe	329
A502	H	H	3-F	4-Me	297
A503	H	H	3-F	3,5-di-Cl	351/353/355
A504	H	H	3-F	3-OPh	375
A505	H	H	3-F	3,4-[(CH2)3]	323
A506	H	H	3-F	4-SMe	329
A507	H	H	3-F	3-Br	361/363
A508	H	H	3-F	3-Br-4-Me	375/377
A509	H	H	2,4-di-Cl	3-SMe	379/381/383
A510	H	H	2,4-di-Cl	4-Me	347/349/350
A511	H	H	2,4-di-Cl	3-OPh	425/427/429
A512	H	H	2,4-di-Cl	3,4-[(CH2)3]	373/375/377
A513	H	H	2,4-di-Cl	4-SMe	379/381/383
A514	H	H	2,4-di-Cl	3-Br	411/413/415/417
A515	H	H	2,4-di-Cl	3-Br-4-Me	425/427/429/431
A516	H	H	3-Me	3-SMe	325
A517	H	H	3-Me	4-Me	293
A518	H	H	3-Me	3,4-[(CH2)3]	319
A519	H	H	3-Me	4-SMe	325
A520	H	H	3-Me	3-Br	357/359

A521	H	H	3-Me	3-Br-4-Me	371/373
A522	H	H	4-Cl-3-NO2	3-SMe	388/390[M-H]-
A523	H	H	4-Cl-3-NO2	4-Me	356/358[M-H]-
A524	H	H	4-Cl-3-NO2	3,5-di-Cl	410/412/414/416[M-H]-
A525	H	H	4-Cl-3-NO2	3-OPh	434/436[M-H]-
A526	H	H	4-Cl-3-NO2	3,4-[(CH2)3]	384/386
A527	H	H	4-Cl-3-NO2	4-SMe	390/392
A528	H	H	4-Cl-3-NO2	3-Br-4-Me	434/436/438[M-H]-
A529	H	H	4-OH	3,4-[S-CH=N]	338
A530	H	H	4-SMe	3,4-[S-CH=N]	368
A531	H	H	4-I	3,4-[S-CH=N]	448
A532	H	H	2-Cl	3,4-[S-CH=N]	356/358
A533	H	H	4-Cl-3-NO2	3-Br	420/422/424[M-H]-
A534	H	H	3-NO2	3-CH2OH	338[M-H]-
A535	H	H	3-NO2	3-CONH2	351[M-H]-
A536	H	H	3-NO2	3-OCH2CO2Et	410[M-H]-
A537	H	H	3-NO2	3,4-di-Me	336[M-H]-
A538	H	H	3-NO2	3-CO2H	352[M-H]-
A539	H	H	3-NO2	3,4-[OCH2O]	352[M-H]-
A540	H	H	3-NO2	3-CH2CO2Me	380[M-H]-
A541	H	H	3-NO2	3-OCH2CO2Me	396[M-H]-
A542	H	H	4-Br	3-Cl-4-Me	391/393/395
A543	H	H	4-Me	3-Cl-4-Me	327/329
A544	H	H	4-SMe	3-Cl-4-Me	359/361

A545	H	H	2-OMe	3-Cl-4-Me	343/345
A546	H	H	4-OMe	3-Cl-4-Me	343/345
A547	H	H	2-Cl	3-Br-4-Me	391/393/395
A548	H	H	4-Br	3-Br-4-Me	435/437/439
A549	H	H	4-Me	3-Br-4-Me	371/373
A550	H	H	4-SMe	3-Br-4-Me	403/405
A551	H	H	2-OMe	3-Br-4-Me	387/389
A552	H	H	4-OMe	3-Br-4-Me	387/389
A553	H	H	2-Cl	H	299/301
A554	H	H	4-Br	H	343/345
A555	H	H	4-Me	H	279
A556	H	H	4-SMe	H	311
A557	H	H	2-OMe	H	295
A558	H	H	3-NO2	3-Cl-4-OH	358/360 [M-H]-
A559	H	H	3-NO2	3-Cl-4-OMe	374/376
A560	H	H	3-NO2	3-F-4-OMe	358
A561	H	H	3-NO2	3,5-di-Br	464/466/468 [M-H]-
A562	H	H	3-NO2	3,5-di-Br-4-Me	478/480/482 [M-H]-
A563	H	H	3-NO2	3,5-di-Me	338
A564	H	H	3-NO2	H	310
A565	H	H	2-Me	3-OPh	371
A566	H	H	3-NO2	4-(CH2)2OH	352 [M-H]-
A567	H	H	3-NO2	4-CH2CO2H	366 [M-H]-
A568	H	H	3-NO2	4-CH2P(O)(OEt)2	460

A569	H	H	3-NO2	4-CH2SO2NHMe	415 [M-H]-
A570	H	H	3-NO2	4-SCH2CO2H	398 [M-H]-
A571	H	H	3-NO2	4-OH	324 [M-H]-
A572	H	H	3-NO2	4-(CH2)3CO2H	394 [M-H]-
A573	H	H	3-NO2	4-CH2CO2Me	380 [M-H]-
A574	H	H	3-NO2	4-SCH2CO2Me	412 [M-H]-
A575	H	H	3-NO2	4-(CH2)3CO2Me	410
A576	H	H	3-NO2	3,4-[CH=N-NH]	350
A577	H	H	3-NO2	3,4-[NH-N=CH]	350
A578	H	H	4-Me	3,4-[S-CH=N]	336
A579	H	H	4-Br	3,4-[S-CH=N]	400/402
A580	H	H	3,5-di-F	3,4-[S-CH=N]	358
A581	H	H	3-NO2	2-Ph	384 [M-H]-
A582	H	H	2-OMe	3-Et	323
A583	H	H	2-OMe	3-OH	311
A584	H	H	2-OMe	3-Br	373/375
A585	H	H	2-OMe	3-COMe	337
A586	H	H	2-OMe	3-COPh	399
A587	H	H	2-OMe	3-F-4-Me	327
A588	H	H	2-OMe	3,5-di-Br-4-OH	467/469/471
A589	H	H	2-OMe	4-CH2CN	334
A590	H	H	2-OMe	4-(CH2)2CONH2	366
A591	H	H	2-OMe	4-Cl	329/321
A592	H	H	2-OMe	4-OPh	387

A593	H	H	2-OMe	4-OCH2Ph	401
A594	H	H	2-OMe	3-F-4-OMe	343
A595	H	H	2-OMe	3-Cl-4-OMe	357/359 [M-H]-
A596	H	H	2-OMe	3-Cl-4-OH	345/347
A597	H	H	2-OMe	4-Br-3-Cl	407/409/411
A598	H	H	2-OMe	3-Br-4-OCF3	457/459
A599	H	H	3-NH2	3,4-[(CH2)3]	320
A600	H	H	4-SMe	2-Ph	385 [M-H]-
A601	H	H	3-NO2	4-I	435 [M]-
A602	H	H	2-OMe	3-NO2	340
A603	H	H	2-OMe	3,5-di-F	331
A604	H	H	2-OMe	3-Br-5-CF3	441/443
A605	H	H	2-OMe	3,5-di-Cl-4-OH	379/381/383
A606	H	H	2-OMe	4-*trans*-CH=CHCO2H	363 [M-H]-
A607	H	H	3-OPh	4-Me	371
A608	H	H	3-OPh	3-Br	433/435 [M-H]-
A609	H	H	3-OPh	4-SMe	401 [M-H]-
A610	H	H	3-OPh	3-OPh	447 [M-H]-
A611	H	H	3-OPh	3,4-[(CH2)3]	395 [M-H]-
A612	H	H	3-OPh	H	357
A613	H	H	3-OPh	3-SMe	403
A614	H	H	3-OPh	3-Br-4-Me	447/449 [M-H]-
A615	H	H	4-O*n*Bu	4-Me	349 [M-H]-
A616	H	H	4-O*n*Bu	3-OPh	428 [M]-

A617	H	H	4-O*n*Bu	3,4-[(CH2)3]	377
A618	H	H	4-O*n*Bu	H	337
A619	H	H	4-O*n*Bu	3-SMe	383
A620	H	H	4-O*n*Bu	3-Br-4-Me	427/429 [M-H]-
A621	H	H	2,6-di-Cl	4-Me	347/349/351
A622	H	H	2,6-di-Cl	H	331/333/335 [M-H]-
A623	H	H	2,6-di-Cl	3-SMe	377/379/381 [M-H]-
A624	H	H	4-SMe	3-Br	389/391
A625	H	H	4-SMe	3-Cl	345/347
A626	H	H	3,5-di-F	3-NO2	344 [M-H]-
A627	H	H	2-Cl	3,4-di-Me	327/329
A628	H	H	4-Br	3,4-di-Me	369/371 [M-H]-
A629	H	H	4-Br	3-Br	419/421/423 [M-H]-
A630	H	H	4-Br	3-Cl	375/377/379 [M-H]-
A631	H	H	3-Br	3-NO2	386/388 [M-H]-
A632	H	H	2-OMe	3,4-di-Me	323
A633	H	H	3-OMe	3,4-di-Me	323
A634	H	H	3-OPh	3,4-di-Me	385
A635	H	H	4-SMe	3,4-di-Me	337 [M-H]-
A636	H	H	3-OPh	4-Br	433/435 [M-H]-
A637	H	H	4-Me	3-Cl	313/315
A638	H	H	2-OMe	4-(CH2)2NHCO2*t*Bu	436 [M-H]-
A639	H	H	3-NO2	2,3-[(CH2)4]	362 [M-H]-
A640	H	H	3-Cl	3-NO2	342/344 [M-H]-

A641	H	H	2-OMe	4-CH2NHCO2*t*Bu	422 [M-H]-
A642	H	H	4-O*n*Bu	4-SMe	383
A643	H	H	4-C(OMe)2Ph	3-Cl	417/419 Fragment ion [M-OMe]+
A644	H	H	4-COPh	3-Cl	403/405
A645	H	H	3-NO2-4-OMe	3-Cl	374/376
A646	H	H	2-NO2	3-Cl	344/346
A647	H	H	2,4-di-OMe	3-SMe	369[M-H]-
A648	H	H	2,4-di-OMe	4-Me	337[M-H]-
A649	H	H	2,4-di-OMe	H	323[M-H]-
A650	H	H	2,4-di-OMe	3-OPh	415[M-H]-
A651	H	H	2,4-di-OMe	3,4-[(CH2)3]	363[M-H]-
A652	H	H	2,4-di-OMe	4-SMe	369[M-H]-
A653	H	H	2,4-di-OMe	3-Br	403/404
A654	H	H	2,4-di-OMe	3-Br-4-Me	415/417[M-H]-
A655	H	H	3-NO2	3-Cl-4-SMe	388/390 [M-H]-
A656	H	H	2-OMe	3-Cl-4-SMe	373/375 [M-H]-
A657	H	H	3-NO2	4-CH2NHBoc	437 [M-H]-
A658	H	H	4-Br	4-NMe2	386/388
A659	H	H	2-OMe	4-NMe2	338
A660	H	H	3-NO2	4-NMe2	353
A661	H	H	3-NO2	3-OMe	373/375
A662	H	H	3-NO2	3-OMe	340
A663	H	H	4-Br	3,4-di-OMe	403/405

A664	H	H	2-OMe	3,4-di-OMe	355
A665	H	H	3-NO2	3,4-di-OMe	370
A666	H	H	4-SO2Me	3-Br-4-Me	433/435[M-H]-
A667	H	H	4-SO2Me	3-Br	419/421[M-H]-
A668	H	H	4-SO2Me	4-SMe	388[M]-
A669	H	H	4-SO2Me	3,4-[(CH2)3]	382[M]-
A670	H	H	4-SO2Me	3-OPh	434[M]-
A671	H	H	4-SO2Me	H	342[M]-
A672	H	H	4-SO2Me	4-Me	356[M]-
A673	H	H	4-SO2Me	3-SMe	388[M]-
A674	H	H	2-F	3-SMe	327[M-H]-
A675	H	H	2-F	4-Me	295[M-H]-
A676	H	H	2-F	3-OPh	373[M-H]-
A677	H	H	2-F	3,4-[(CH2)3]	321[M-H]-
A678	H	H	2-F	4-SMe	327[M-H]-
A679	H	H	2-F	3-Br	359/361[M-H]-
A680	H	H	2-F	3-Br-4-Me	373/375[M-H]-
A681	H	H	2,3-di-F	3-Br-4-Me	391/393[M-H]-
A682	H	H	2,3-di-F	3-Br	377/379[M-H]-
A683	H	H	2,3-di-F	4-SMe	345[M-H]-
A684	H	H	2,3-di-F	3,4-[(CH2)3]	339[M-H]-
A685	H	H	2,3-di-F	3-OPh	391[M-H]-
A686	H	H	2,3-di-F	H	299[M-H]-
A687	H	H	2,3-di-F	4-Me	313[M-H]-

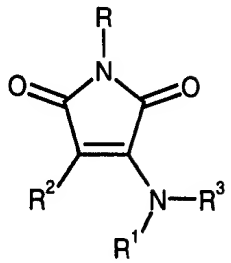
A688	H	H	2,3-di-F	3-SMe	345[M-H]-
A689	H	H	3-NO2	3,4-[N=N-NH]	351
A690	H	Me	3-NO2	2-Me	338
A691	H	H	3-NO2	2-OH	326
A692	H	H	3-NO2	3-CF3	376[M-H]-
A693	H	H	3-NO2	3-OCH2Ph	414[M-H]-
A694	H	H	3-NO2	3-CO2H-4-Cl	386[M-H]-
A695	H	H	3-NO2	3-CO2Me	368
A696	H	H	3-NO2	2-OMe	340
A697	H	H	3-NO2	3-I	436
A698	H	H	3-NO2	3-CO2Me-4-Cl	402/404
A699	H	H	3-NO2-4-OMe	3,4-[(CH2)3]	380
A700	H	H	3-NO2-4-OMe	3-Br-4-Me	432/434
A701	H	H	3-NO2	4-(CH2)2NHBoc	451 [M-H]-
A702	H	H	2-OMe	4-(CH2)2NH2	338
A703	H	H	2-F	H	281[M-H]-
A704	H	H	4-Br	4-CH2NHBoc	470/472 [M-H]-
A705	H	H	4-I	3-F-4-Me	421 [M-H]-
A706	H	H	2-OCH2Ph	3-Cl	405/407
A707	H	H	2-Cl	3,5-di-Cl-4-OH	383/385/387/389
A708	H	H	2-Cl	3,5-di-Br-4-OH	471/473/475/477
A709	H	H	2-Cl	3-CO2H-4-Cl	377/379/381
A710	H	H	2-Cl	3-CO2H	343/345
A711	H	H	2-Cl	3-OH	315/317

A712	H	H	2-Cl	3,4-[OCH2O]	343/345
A713	H	H	2-Cl	3,4-[(CH2)3]	339/341
A714	H	H	H	3,5-di-Cl-4-OH	349/351/353
A715	H	H	H	3,5-di-Br-4-OH	437/439/441
A716	H	H	H	3-CO2H-4-Cl	343/345
A717	H	H	H	3-CO2H	309
A718	H	H	H	3-OH	281
A719	H	H	H	3,4-[OCH2O]	309
A720	H	H	H	3,4-[(CH2)3]	305
A721	H	H	3-NO2-4-OMe	H	340
A722	H	H	3-NO2-4-OMe	4-SMe	386
A723	H	H	4-Br	3,5-di-Cl-4-OH	427/429/431/433
A724	H	H	4-Br	3,5-di-Br-4-OH	515/517/519/521
A725	H	H	4-Br	3-CO2H-4-Cl	419/421/423 [M-H]-
A726	H	H	4-Br	3-CO2H	387/389
A727	H	H	4-Br	3-OH	359/361
A728	H	H	4-Br	3,4-[OCH2O]	387/389
A729	H	H	4-I	3,5-di-Cl-4-OH	475/477/479
A730	H	H	4-I	3,5-di-Br-4-OH	563/565/567
A731	H	H	4-I	3-CO2H-4-Cl	469/471
A732	H	H	4-I	3-CO2H	435
A733	H	H	4-I	3-OH	407
A734	H	H	4-I	3,4-[OCH2O]	435
A735	H	H	3-Me	3,5-di-Cl-4-OH	363/365/367

A736	H	H	3-Me	3,5-di-Br-4-OH	451/453/455
A737	H	H	3-Me	3-CO2H-4-Cl	357/359
A738	H	H	3-Me	3-CO2H	323
A739	H	H	3-Me	3-OH	295
A740	H	H	3-Me	3,4-[OCH2O]	323
A741	H	H	3-F	3,5-di-Cl-4-OH	367/369/371
A742	H	H	3-F	3,5-di-Br-4-OH	455/457/459
A743	H	H	3-F	3-CO2H-4-Cl	361/363
A744	H	H	3-F	3-CO2H	327
A745	H	H	3-F	3-OH	299
A746	H	H	3-F	3,4-[OCH2O]	327
A747	H	H	4-OMe	3,5-di-Cl-4-OH	379/381/383
A748	H	H	4-OMe	3,5-di-Br-4-OH	467/469/471
A749	H	H	4-OMe	3-CO2H	339
A750	H	H	4-OMe	3-OH	311
A751	H	H	3-OMe	3,5-di-Cl-4-OH	379/381/383
A752	H	H	3-OMe	3,5-di-Br-4-OH	467/469/471
A753	H	H	3-OMe	3-CO2H-4-Cl	373/375
A754	H	H	3-OMe	3-CO2H	339
A755	H	H	3-OMe	3-OH	311
A756	H	H	3-NO2	4-CH2NH2	337 [M-H]-
A757	H	H	2-OMe	4-CH2NH2	322 [M-H]-
A758	H	H	3-Me	3,4-[S-CH=N]	336
A759	H	H	3-OMe	3,4-[S-CH=N]	352

Table B

Encompassing compounds of general formula (I) and substituents R, R^1, R^2 and R^3 are listed in Table B.



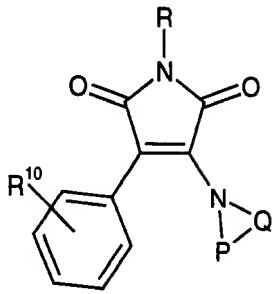
(I)

Example No.	R	R^1	R^2	R^3	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
B1	Me	Me	Indol-3-yl	Ph	332
B2	H	H	Indol-3-yl	H	228
B3	H	Me	Indol-3-yl	Ph	318
B4	H	H	Ph	H	189
B5	H	H	Ph	CH2Ph	279

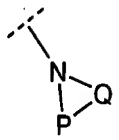
B6	CH2Ph	H	Ph	CH2Ph	369
B7	H	Et	4-CF3-Ph	Et	313
B8	H	Me	4-OMe-Ph	CH2Ph	323
B9	H	Et	4-Cl-Ph	Et	279/281
B10	H	Me	4-Cl-Ph	CH2Ph	327/329
B11	H	Me	4-Cl-Ph	(CH2)2Ph	341/343
B12	H	Et	Ph	Et	245
B13	H	Me	Ph	CH2Ph	293
B14	H	Me	Ph	(CH2)2Ph	307
B15	H	(CH2)2OMe	4-Cl-Ph	(CH2)2OMe	339/341
B16	H	H	3-NO2-Ph	4-Me-Oxazol-2-yl	315
B17	H	Me	3-NO2-Ph	CH2Ph	338
B18	H	Me	3-NO2-Ph	(CH2)2Ph	352
B19	H	H	3-NO2-Ph	Cyclohexyl	314 [M-H]-
B20	H	H	2-OMe-Ph	Fluoren-2-yl	383
B21	H	H	3-NO2-Ph	Fluoren-2-yl	396 [M-H]-

Table C

Encompassing compounds of general formula (X-2), wherein group R^2 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{10} and the moiety $-NR^1R^3$ of formula (I) represents a heterocyclyl moiety of general formula (X-3) and substituents R, R^{10} and P-Q are listed in Table C.



(X-2)



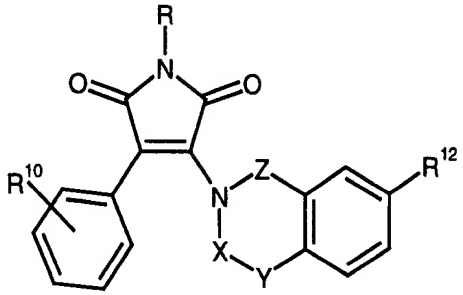
(X-3)

Example No.	R	R^{10}	P-Q	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
C1	H	4-OMe	(CH2)2O(CH2)2	289
C2	H	4-Cl	(CH2)4	277/279
C3	H	4-Cl	(CH2)2O(CH2)2	293/295
C4	H	4-Cl	(CH2)3CH(Me)CH2	305/307
C5	H	4-Cl	(CH2)3CH(CONH2)CH2	332/334[M-H]-
C6	H	H	(CH2)3CH(CONH2)CH2	300

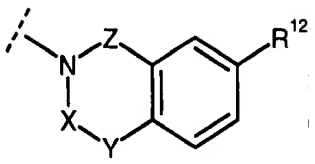
C7	H	4-OMe	(CH2)3CH(CONH2)CH2	330
C8	H	H	(CH2)4	243
C9	H	4-Cl	(CH2)3CH(CH2OH)CH2	321/323
C10	H	4-Cl	(CH2)5	291/293
C11	H	4-Cl	(CH2)2CH(CH2Ph)(CH2)2	381/383
C12	H	4-Cl	(CH2)2CH(OH)(CH2)2	307/309
C13	H	3-NO2	(CH2)3CH(Me)CH2	316

Table D

Encompassing compounds of general formula (X-4), wherein group R^2 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{10} and the moiety $-NR^1R^3$ of formula (I) represents a heterocyclyl moiety of general formula (X-5), optionally substituted by a substituent R^{12} and substituents R, R^{10}, R^{12}, X-Y and Z are listed in Table D.



(X-4)



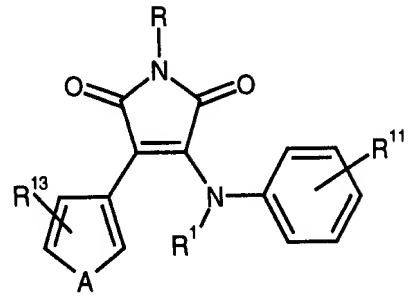
(X-5)

Example No.	R	R^{10}	R^{12}	X-Y	Z	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
D1	H	4-CF3	H	CH=N	bond	358
D2	H	4-Cl	H	(CH2)2	bond	325/327
D3	H	4-Cl	H	(CH2)2	CH2	339/341

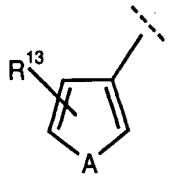
D4	H	4-Cl	H	(CH2)3	bond	339/341
D5	H	4-Cl	NO2	(CH2)2	bond	370/372
D6	H	3-NO2	H	(CH2)2	CH2	350
D7	H	4-OMe	H	(CH2)2	bond	321
D8	H	4-Cl	H	(CH2)2	(CH2)2	353/355
D9	H	3-NO2	H	(CH2)2	(CH2)2	364
D10	H	3-CF3	H	(CH2)2	bond	359
D11	H	3,5-di-F	H	(CH2)2	bond	327
D12	H	3-NO2	H	(CH2)2	bond	336
D13	H	2-OMe	H	(CH2)2	bond	321
D14	H	2-Cl	H	(CH2)2	bond	325/327
D15	H	2-OMe	H	(CH2)2	CH2	335

Table E

Encompassing compounds of general formula (X-6), wherein group R^2 of formula (I) is a (3-heterocyclyl) moiety (X-7), optionally substituted by one or more substituents R^{13} and group R^3 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{11} and substituents R, R^1, R^{11} and R^{13} are listed in Table E.



(X-6)



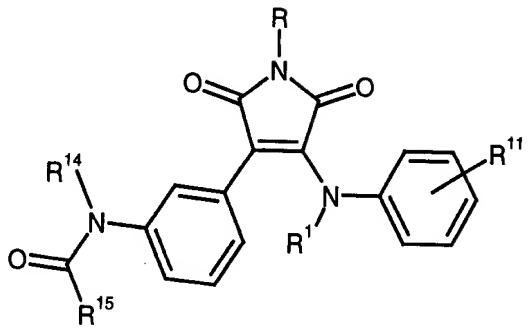
(X-7)

Example No.	R	R^1	R^{11}	R^{13}	A	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
E1	H	H	3-Br	4,5-[(-CH=CH-)2]	N(Me)	396/398
E2	H	H	4-Me	4,5-[(-CH=CH-)2]	N(Me)	332
E3	H	H	4-SMe	4,5-[(-CH=CH-)2]	N(Me)	364

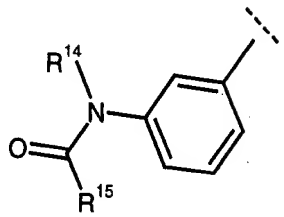
E4	H	H	3-Br-4-Me	4,5-[(-CH=CH-)2]	O	397/399
E5	H	H	3-Br-4-Me	H	S	363/365
E6	H	H	3-Cl	H	S	303/305 [M-H]-
E7	H	H	3,4-[S-CH=N]	4,5-[(-CH=CH-)2]	N(Me)	375
E8	H	H	3-OPh	4,5-[(-CH=CH-)2]	N(Me)	410
E9	H	H	3,4-[(CH2)3]	4,5-[(-CH=CH-)2]	N(Me)	358
E10	H	H	3-SMe	H	S	315[M-H]-
E11	H	H	4-Me	H	S	283[M-H]-
E12	H	H	H	H	S	269[M-H]-
E13	H	H	3-OPh	H	S	361[M-H]-
E14	H	H	3,4-[(CH2)3]	H	S	309[M-H]-
E15	H	H	3-Br	H	S	347/349[M-H]-
E16	H	H	4-SMe	H	S	315[M-H]-
E17	H	H	3,5-di-Br-4-OH	H	S	441/443/445[M-H]-

Table F

Encompassing compounds of general formula (X-8), wherein group R^2 of formula (I) is a moiety of formula (X-9), optionally substituted by substituents R^{14} and R^{15} and group R^3 of formula (I) is a phenyl ring, optionally substituted by one or more substituents R^{11} and substituents R, R^1, R^{11}, R^{14} and R^{15} are listed in Table F.



(X-8)



(X-9)

Example No.	R	R^1	R^{11}	R^{14}	R^{15}	$[M+H]^+$ Observed; (Unless $[M]^-$ or $[M-H]^-$ are Indicated)
F1	H	H	3,4-[(CH2)3]	H	Me	360 [M-H]-
F2	H	H	3,4-[(CH2)3]	H	NH[3-F-Ph]	456 [M]-

F3	H	H	3,4-[(CH2)3]	H	NH(CH2)2Ph	467
F4	H	H	3,4-[(CH2)3]	H	NH[Cyclohexyl]	443 [M-H]-
F5	H	H	3,4-[(CH2)3]	H	NHCH2CH=CH2	403
F6	H	H	3,4-[(CH2)3]	H	Ph	422 [M-H]-
F7	H	H	3,4-[(CH2)3]	H	CH2Ph	436 [M-H]-
F8	H	H	3,4-[(CH2)3]	H	*trans*-CH=CHPh	450
F9	H	H	3,4-[(CH2)3]	H	*n*-Pr	390
F10	H	H	3,4-[(CH2)3]	H	NHEt	389 [M-H]-
F11	H	H	3,4-[(CH2)3]	H	NH[3-OMe-Ph]	469